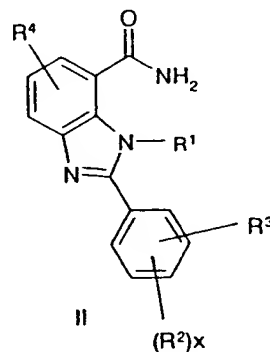
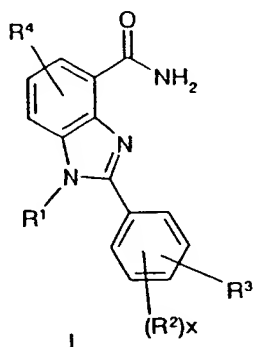


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1. A compound of the formula I or II



in which

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where R¹¹ is hydrogen or C₁-C₄-alkyl, and

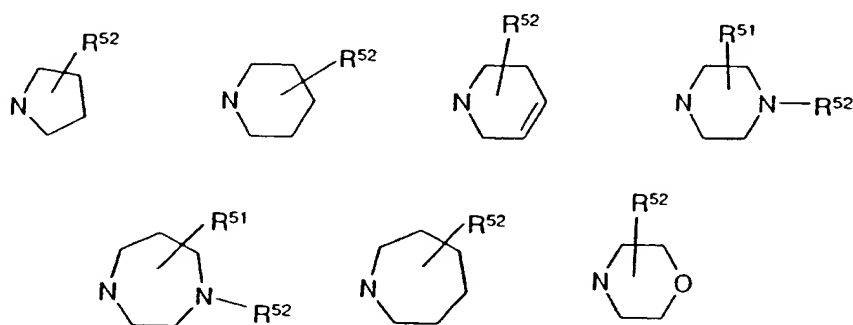
R² is hydrogen, chlorine, bromine, iodine, fluorine, CF₃, nitro, NHCOR²¹, NR²²R²³OH, O-C₁-C₄-alkyl, O-C₁-C₄-alkylphenyl, NH₂, phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals R²⁴, and R²¹ and R²² independently of one another are hydrogen or C₁-C₄-alkyl and R²³ is hydrogen, C₁-C₄-alkyl or phenyl, and R²⁴ is OH, C₁-C₆-alkyl, O-C₁-C₄-alkyl, chlorine, bromine, iodine, fluorine, CF₃, nitro, NH₂, and

x may be 0, 1 or 2 and

R³ is -D-(F¹)_p-(E)_q-(F²)_r-G, where p, q and r may not simultaneously be 0, or is -E-(D)_u-(F²)_s-(G)_v, it also being possible for the radical E to be substituted by one or two radicals A, and if v = 0, E is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or R³ is B and

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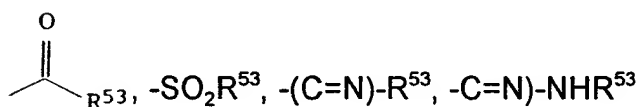
- R⁴ is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, OH, nitro, CF₃, CN, NR⁴¹R⁴², NH-CO-R⁴³, O-C₁-C₄-alkyl, where R⁴¹ and R⁴² independently of one another are hydrogen or C₁-C₄-alkyl and R⁴³ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkylphenyl or phenyl, and
- D is S or O
- E is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, trihydroazepine and
- F¹ is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and
- F² is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and
- p may be 0 or 1
- q may be 0 or 1, and
- r may be 0 or 1 and
- s may be 0 or 1
- u may be 0 or 1
- v may be 0 or 1
- G may be NR⁵¹R⁵² or



and

R^{51} is hydrogen or branched and unbranched C_1 - C_6 -alkyl, $(CH_2)_t$ -K and

R^{52} is hydrogen, branched and unbranched C_1 - C_6 -alkyl, phenyl,

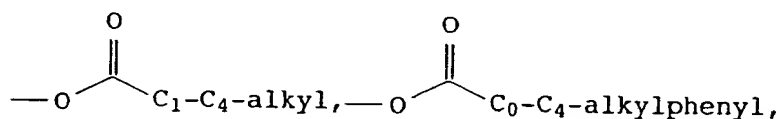


in which

R^{53} may be branched or unbranched O - C_1 - C_6 -alkyl, phenyl, branched or unbranched C_1 - C_4 -alkylphenyl, where in the case of R^{52} and R^{53} independently of one another one hydrogen of the C_1 - C_6 -alkyl radical may be substituted by one of the following radicals: OH , O - C_1 - C_4 -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, it also being possible for the carbocycles of the radicals R^{52} and R^{53} independently of one another to carry one or two of the following radicals: branched or unbranched C_1 - C_6 -alkyl, branched or unbranched O - C_1 - C_4 -alkyl,

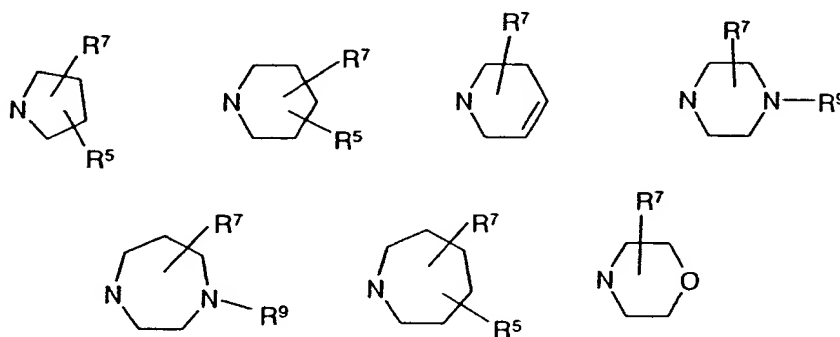
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OH, F, Cl, Br, I, CF₃, NO₂, NH₂, CN, COOH, COOC₁-C₄-alkyl, C₁-C₄-alkylamino, CC1₃,
C₁-C₄-dialkylamino, SO₂-C₁-C₄-alkyl, SO₂phenyl, CONH₂, CONH-C₁-C₄-alkyl,
CONHphenyl, CONH-C₁-C₄-alkylphenyl, NHSO₂-C₁-C₄-alkyl, NHSO₂phenyl, S-C₁-C₄-
alkyl,



CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkylphenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO-C₁-
C₄-alkylphenyl, -SO₂NH₂, -SO₂NH-C₁-C₄-alkyl
and two radicals form a bridge -O-(CH₂)_{1,2}-O-

B may be



CLEAN COPY OF CLAIMS OZ 49500

and

A may be hydrogen, chlorine, bromine, iodine, fluorine, CF_3 , nitro, OH, O- $\text{C}_1\text{-C}_4$ -alkyl, O- $\text{C}_1\text{-C}_4$ -alkylphenyl, NH_2 , branched and unbranched $\text{C}_1\text{-C}_6$ -alkyl, CN, NH-CO- R^{33} , where R^{33} is hydrogen, $\text{C}_1\text{-C}_4$ -alkyl or phenyl and

R^{31} is hydrogen, $\text{C}_1\text{-C}_6$ -alkyl, $(\text{CH}_2)_t\text{-K}$ and

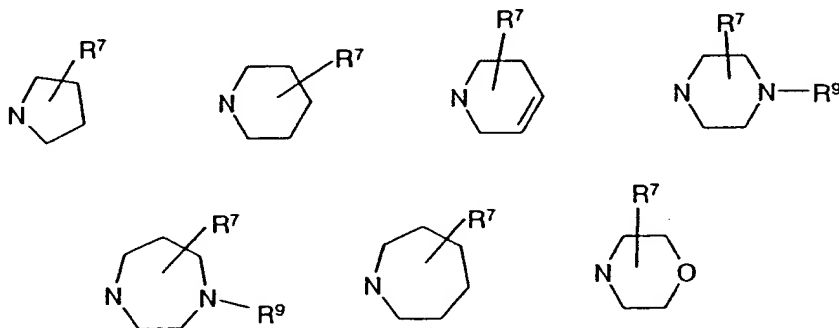
R^{32} is hydrogen, $\text{C}_1\text{-C}_6$ -alkyl, $-\text{CO}-\text{R}^8$, $\text{SO}_2\text{-R}^8$, $-(\text{C}=\text{N})=\text{R}^8\text{-CO-NHR}^8$, $-\text{CO-OR}^8$ and $-(\text{C}=\text{N})\text{-NHR}^8$ and

R^{33} is hydrogen and $\text{C}_1\text{-C}_4$ -alkyl and

t is 0,1,2,3,4 and

K is phenyl which may carry at most two radicals R, is $\text{NR}^{k1}\text{R}^{k2}$ (where R^{k1} and R^{k2} are as defined for R^{41} and R^{42} respectively), NH- $\text{C}_1\text{-C}_4$ -alkylphenyl, pyrrolidine, piperidine, 1,2, 5, 6-tetrahydropyridine, morpholine, trihydroazepine, piperazine, which may also be substituted by an alkyl radical $\text{C}_1\text{-C}_6$ -alkyl, and homopiperazine, which may also be substituted by an alkyl radical $\text{C}_1\text{-C}_6$ -alkyl, and

R^5 may be hydrogen, $\text{C}_1\text{-C}_6$ -alkyl, NR_7R_9 and



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R⁷ is hydrogen, C₁-C₆-alkyl, C₁-C₄-alkylphenyl, phenyl, it also being possible for the rings to be substituted by up to two radicals R⁷¹, and

R⁸ is hydrogen, C₁-C₆-alkyl, phenyl, C₁-C₄-alkylphenyl, it also being possible for the ring to be substituted by up to two radicals R⁸¹, and

R⁹ is hydrogen, COCH₃, CO-O-C₁-C₄-alkyl, COCF₃, branched and unbranched C₁-C₆-alkyl, it being possible for one or two hydrogens of the C₁-C₆-alkyl radical to be substituted in each case by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched C₁-C₆-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, CF₃, SO₂-C₁-C₄-alkyl,

and the tautomeric forms, possible enantiomeric and diastereomeric forms thereof, the prodrugs thereof and pharmacologically tolerated salts.

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where

CLEAN COPY OF CLAIMS OZ 49500

R^{11} is hydrogen or C_1 - C_4 -alkyl, and

R^2 is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C_1 - C_6 -alkyl, nitro, CF_3 , CN , $NR^{21}R^{22}$, $NH-CO-R^{23}$, OR^{21} , where

R^{21} and R^{22} are, independently of one another, hydrogen or C_1 - C_4 -alkyl, and

R^{23} is hydrogen, C_1 - C_4 -alkyl or phenyl, and

R^3 is $-O-(CH_2)_o-(CHR^{31})_m-(CH_2)_n-R^5$, where

R^{31} is hydrogen, C_1 - C_4 -alkyl, OH and $O-C_1$ - C_4 -alkyl,

m, o are, independently of one another, 0, 1 or 2, and

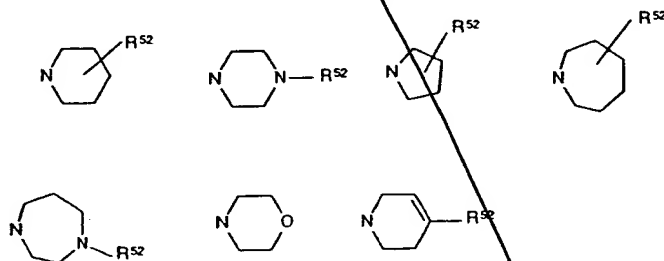
n is 1, 2, 3 or 4 and

R^4 is hydrogen, branched and unbranched C_1 - C_6 -alkyl, chlorine, bromine, fluorine, nitro, cyano, $NR^{41}R^{42}$, $NH-CO-R^{43}$, OR^{41} where

R^{41} and R^{42} are, independently of one another, hydrogen or C_1 - C_4 -alkyl, and

R^{43} is C_1 - C_4 -alkyl or phenyl, and

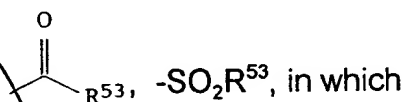
R^5 is $NR^{51}R^{52}$ or one of the following radicals



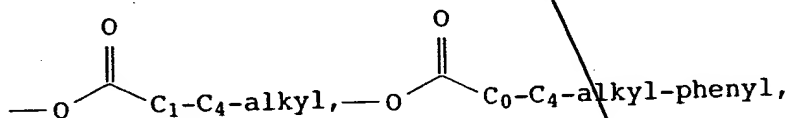
where

R^{51} is hydrogen and branched and unbranched C_1 - C_6 -alkyl, and

R^{52} is hydrogen, branched and unbranched C_1 - C_6 -alkyl phenyl, and



R^{53} is branched or unbranched O - C_1 - C_6 -alkyl, phenyl, branched or unbranched C_1 - C_4 -alkyl-phenyl, where one hydrogen in the C_1 - C_6 -alkyl radical in R^{52} and R^{53} can, independently of one another, be substituted by one of the following radicals: OB , O - C_1 - C_4 -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, where the carbocycles of the R^{52} and R^{53} radicals may also, independently of one another, carry one or two of the following radicals: branched or unbranched C_1 - C_6 -alkyl, branched or unbranched O - C_1 - C_4 -alkyl, OH , F , Cl , Br , I , CF_3 , NO_2 , NH_2 , CN , $COOH$, $COOC_1$ - C_4 -alkyl, C_1 - C_4 -alkylamino, CCl_3 , C_1 - C_4 -dialkylamino, SO_2 - C_1 - C_4 -alkyl, SO_2 phenyl, $CONH_2$, $CONH$ - C_1 - C_4 -alkyl, $CONH$ phenyl, $CONH$ - C_1 - C_4 -alkyl-phenyl, $NHSO_2$ - C_1 - C_4 -alkyl, $NBSO_2$ phenyl, S - C_1 - C_4 -alkyl,



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CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkyl-phenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO-C₁-C₄-alkyl-phenyl, SO₂NH₂, -SO₂NH-C₁-C₄-alkyl and two radicals form a bridge -O-(CH₂)_{1,2}-O-,

and the tautomeric form, possible enantiomeric and diastereomeric forms thereof, the prodrugs thereof, and possible physiologically tolerated salts.

3. A compound of the formula I or II as claimed in claim 1 in which

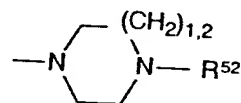
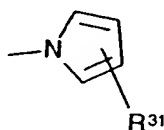
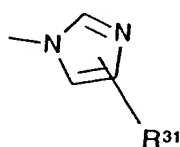
R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where

R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, nitro, CF₃, CN, NR²¹R²², NH-CO-R²³, OR²¹, where

R²¹ and R²² independently of one another are hydrogen or C₁-C₄-alkyl and

R³ is



and

R³¹ is hydrogen, CHO and -(CH₂)_o-(CHR³²)_m-(CH₂)_n-R⁵, where R³² is

N5

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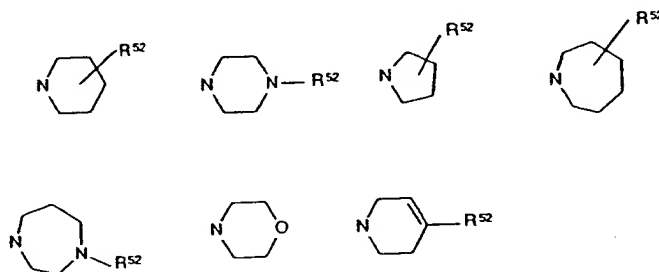
hydrogen, C₁-C₄-alkyl, OH and O-C₁-C₄-alkyl, m, o independently of one another are 0, 1 or 2 and n is 1, 2, 3 or 4, and

R⁴ is hydrogen, branched and unbranched C₁-C₆-alkyl, chlorine, bromine, fluorine, nitro, cyano, NR⁴¹R⁴² NH-CO-R⁴³, OR⁴¹, where

R⁴¹ and R⁴² independently of one another are hydrogen or C₁-C₄-alkyl and

R⁴³ is C₁-C₄-alkyl or phenyl, and

R⁵ is NR⁵¹R⁵² or one of the radicals below



where

R⁵¹ is hydrogen and branched and unbranched and C₁-C₆-alkyl and

R⁵² is hydrogen, COCH₃, CO-O-C₁-C₄-alkyl, COCF₃, branched and unbranched C₁-C₆-alkyl, it being possible for one hydrogen of the C₁-C₆-alkyl radical to be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl and for the phenyl ring also to carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl,

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and the tautomeric forms, possible enantiomeric and diastereomeric forms thereof, the prodrugs thereof, and possible physiologically tolerated salts.

4. A compound as claimed in claim 1, where R^2 is in position 3 and R^3 is in position 4 or R^2 is in position 4 and R^3 is in position 3 relative to the benzimidazole ring.

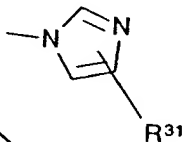
5. A compound as claimed in claim 1, where R^1 and R^4 are hydrogen.

6. A compound as claimed in claim 1, where

R^2 is hydrogen, branched or unbranched C_1 - C_6 -alkyl, nitro, CN, NH_2 , O- C_1 - C_4 -alkyl.

7. A compound as claimed in claim 1 where

(i) for R^3 being



R^{31} is hydrogen or $-(CH_2)_p-R^5$, where

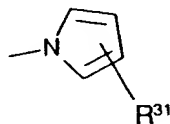
p is 1 or 2 and

R^{52} may be hydrogen, branched and unbranched C_1 - C_6 -alkyl, where one hydrogen of the C_1 - C_6 -alkyl radical may be substituted by one of the following radicals: OH, O- C_1 - C_4 -alkyl and phenyl, and where the phenyl

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ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl;

(ii) for R³ being

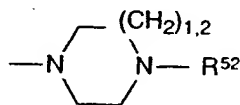


R³¹ is hydrogen or -(CH₂)_p-R⁵, where

p is 1 or 2 and

R⁵² may be hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl;

and (iii) for R³ being



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A²
cont'd

where R⁵² is hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl.

8. A compound as claimed in claim 1, where R³ is -O-(CH₂)_p-R⁵ with p equal to 2, 3 or 4.

9. A compound as claimed in claim 1, where R⁵ is a 6-membered ring and R⁵² is an optionally substituted phenyl ring.

10. A drug comprising besides conventional vehicles and ancillary substances a compound as claimed in claim 1.

11. A method for treating a disorder in which pathologically elevated PARP activities occur, said method comprising administering an effective amount of a compound of the formula I as claimed in claim 1 to a mammal suffering from said disorder.

12. The method as claimed in claim 11 wherein the disorder is a neurodegenerative disease or involves neuronal damage.

13. The method as claimed in claim 12, wherein the neurodegenerative disease or neuronal damage is induced by ischemia, trauma or massive bleeding.

Sub
B²⁷ 14. The method as claimed in claim 11 wherein the disorder is stroke and

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craniocerebral trauma.

15. The method as claimed in claim 11 wherein the disorder is Alzheimer's disease and Huntington's disease.

16. The method as claimed in claim 11 wherein the disorder is damage due to ischemia.

17. The method as claimed in claim 11 wherein the disorder is epilepsy.

18. The method as claimed in claim 11 wherein the disorder is damage to the kidneys after renal ischemia, damage caused by drug therapy or damage resulting after kidney transplants.

19. The method as claimed in claim 11 wherein the disorder is damage to the heart after cardiac ischemia.

20. The method as claimed in claim 11 wherein the disorder is a microinfarct.

21. The method as claimed in claim 11 wherein the disorder is under vascularization of critically narrowed coronary arteries.

22. The method as claimed in claim 11 wherein the disorder is an acute myocardial infarct and damage during and after medical or mechanical lysis thereof.

23. The method as claimed in claim 11 wherein the disorder is a tumor or metastasis thereof.

24. The method as claimed in claim 11 wherein the disorder is sepsis of multi-organ failure.

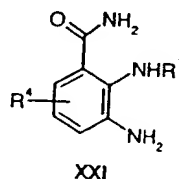
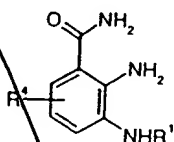
25. The method as claimed in claim 11 wherein the disorder is an immunological

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disease.

26. The method as claimed in claim 11 wherein the disorder is diabetes mellitus.

27. A compound of the formula XX or XXI



in which

R⁴ = hydrogen and R¹ is defined in claim 1, and salts thereof.

28. A process for preparing compounds of the formula XX or XXI as claimed in claim 27 and salts thereof, which comprises converting the corresponding ester into the amide XX or XXI with hydrazine hydrate in an alcohol and subsequent reduction of the hydrazine with Raney nickel in a polar solvent.

CLAIM 29 IS CANCELED

30. An in vitro detection method for PARP inhibitors, which comprises

- NE
- a) incubating an unsupported or supported polyADP-ribosylatable target with a reaction mixture comprising
 - a1) a PARP
 - a2) a PARP activator; and
 - a3) a PARP inhibitor or an analyte in which at least one PARP inhibitor is suspected

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- b) carrying out the polyADP-ribosylation reaction: and
- c) determining the polyADP-ribosylation of the target qualitatively or quantitatively using an anti-poly(ADP-ribose) antibody.

31. A method as claimed in claim 30, wherein PARP is preincubated with the PARP activator and the PARP inhibitor or an analyte in which at least one PARP inhibitor is suspected before the polyADP ribosylation reaction is carried out.

32. A method as claimed in either of claims 30 or 31, wherein the polyADP-ribosylatable target is a histone protein.

33. A method as claimed in any of claims 30 to 32, wherein the PARP activator is activated DNA.

34. A method as claimed in any of claims 30 to 33, wherein the polyADP ribosylation reaction is started by adding NAD⁺.

35. A method as claimed in any of claims 30 to 34, wherein the unsupported target is labeled with an acceptor fluorophore.

36. A method as claimed in claim 35, wherein the polyADP ribosylation of the unsupported target is determined using anti-poly(ADP-ribose) antibody which is labeled with a donor fluorophore which is able to transfer energy to the acceptor fluorophore.

37. A method as claimed in either of claims 35 or 36, wherein the target is biotinylated histone, and the acceptor fluorophore is coupled thereto via avidin or streptavidin.

38. A method as claimed in either of claims 36 and 37, wherein the anti-poly(ADP-

ribose) antibody carries a europium cryptate as donor fluorophore.

A³
cont'd

[illegible]